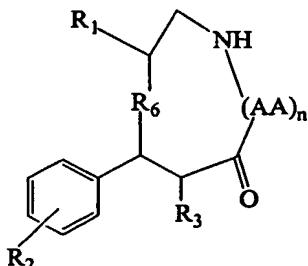


## WHAT IS CLAIMED IS:

## 1. A compound of formula (I):

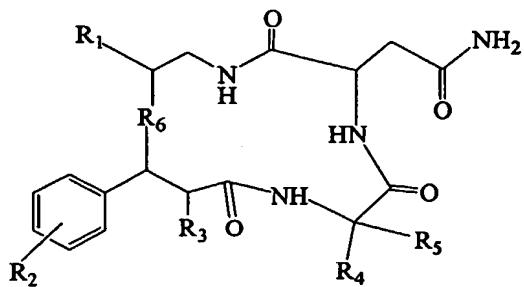


(I)

5 wherein R<sub>1</sub> is a lipophile; R<sub>2</sub>, in combination with the phenyl ring, is a phenylphosphate mimic group or a protected phenylphosphate mimic group; R<sub>3</sub> is hydrogen, azido, amino, carboxyalkyl, alkoxy carbonylalkyl, aminocarbonylalkyl, or alkylcarbonylamino, wherein the alkyl portion of R<sub>3</sub> may be optionally substituted with a substituent selected from the group consisting of halo, hydroxy, carboxyl, amino, aminoalkyl, alkyl, alkoxy, and keto; R<sub>6</sub> is a  
 10 linker; AA is an amino acid; and n is 1 to 6; or a salt thereof.

2. The compound of claim 1, wherein n is 2 or 3.

3. The compound of claim 1 or 2 having the formula

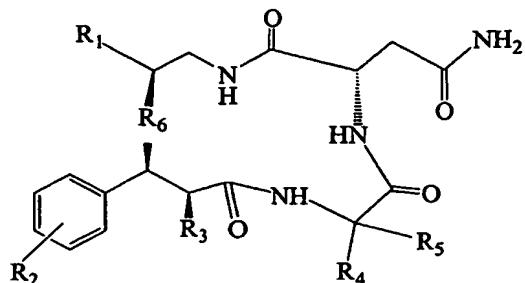


wherein R<sub>4</sub> and R<sub>5</sub>, independently, are hydrogen, alkyl, cycloalkyl, or heterocyclyl, or R<sub>4</sub> and  
 15 R<sub>5</sub> together form a cycloalkyl or heterocyclyl.

4. The compound of claim 3, wherein R<sub>1</sub> is aralkyl, arylheterocyclylalkyl, alkylaminocarbonyl, alkenylaminocarbonyl, arylaminocarbonyl, alkoxyalkyl, aryloxyalkyl, or aralkoxyalkyl, wherein the aryl portion is substituted or unsubstituted; R<sub>2</sub> is hydroxyl, carboxyl, formyl, carboxyalkyl, carboxyalkoxy, dicarboxyalkyl, dicarboxyalkyloxy,  
 20 dicarboxyhaloalkyl, dicarboxyhaloalkyloxy, phosphono, phosphonoalkyl, phosphonohaloalkyl, phosphoryl, phosphorylalkyl, or phosphorylalkoxy, wherein the alkyl portion of the substituents may be optionally substituted with a substituent selected from the group consisting of halo, hydroxy, carboxyl, amino, aminoalkyl, alkyl, alkoxy, and keto; and

R<sub>6</sub> is a substituted or unsubstituted group having 1-6 carbon atoms.

5. The compound of any one of claims 1-4 having the formula:



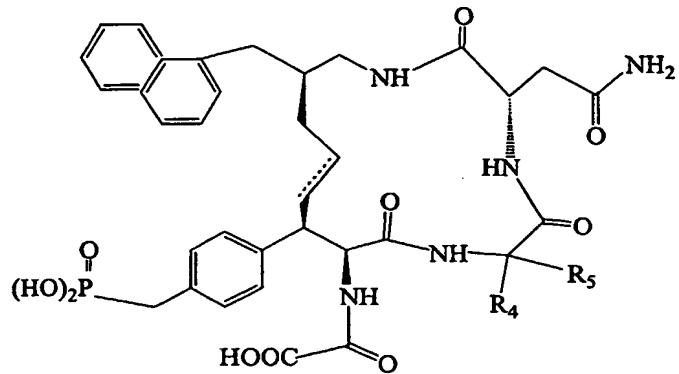
6. The compound of any one of claims 1-5, wherein R<sub>1</sub> is aralkyl, arylheterocyclylalkyl, alkylaminocarbonyl, alkenylaminocarbonyl, arylaminocarbonyl, alkoxyalkyl, aryloxyalkyl, or aralkoxyalkyl, wherein the aryl portion is phenyl or naphthyl and the alkyl portion is a C<sub>1</sub>-C<sub>6</sub> alkyl, and the heterocyclyl is a 3-7 membered ring having at least one of N, O, and S; R<sub>2</sub> is hydroxyl, carboxyl, formyl, carboxyalkyl, carboxyalkoxy, dicarboxyalkyl, dicarboxyalkyloxy, dicarboxyhaloalkyl, dicarboxyhaloalkyloxy, phosphono, phosphonoalkyl, phosphonohaloalkyl, phosphoryl, phosphorylalkyl, or phosphorylalkoxy, wherein the alkyl or alkoxy portion of R<sub>2</sub> is a C<sub>1</sub>-C<sub>6</sub> alkyl or alkoxy and may be optionally substituted with a substituent selected from the group consisting of halo, hydroxy, carboxyl, amino, aminoalkyl, alkyl, alkoxy, and keto; R<sub>3</sub> is hydrogen, azido, amino, oxylamino, carboxyalkyl, alkoxy carbonylalkyl, aminocarbonylalkyl, or alkylcarbonylamino; wherein the alkyl portion of R<sub>3</sub> is C<sub>1</sub>-C<sub>6</sub> alkyl which may be optionally substituted with a substituent selected from the group consisting of halo, hydroxy, carboxyl, amino, aminoalkyl, alkyl, alkoxy, and keto; R<sub>4</sub> and R<sub>5</sub>, independently, are hydrogen, alkyl, cycloalkyl, heterocyclyl, or together form cycloalkyl or heterocyclyl, wherein the alkyl is a C<sub>1</sub>-C<sub>6</sub> alkyl, the cycloalkyl is a C<sub>3</sub>-C<sub>7</sub> cycloalkyl, and the heterocyclyl is a 3-7 membered ring with at least one of N, O, and S; and R<sub>6</sub> is a C<sub>2</sub>-C<sub>4</sub> alkylene or alkenylene group, which may optionally substituted.

7. The compound of any one of claims 1-6, wherein R<sub>1</sub> is naphthylmethyl or indolyl.

8. The compound of any one of claims 1-7, wherein R<sub>2</sub> is carboxyalkyl, carboxyalkoxy, dicarboxyalkyl, dicarboxyalkoxy, dicarboxyhaloalkyl, dicarboxyhaloalkoxy, phosphono, phosphonoalkyl, phosphonohaloalkyl, phosphoryl, phosphorylalkyl, or phosphorylalkoxy, wherein the alkyl or alkoxy portion of R<sub>2</sub> is a C<sub>1</sub>-C<sub>6</sub> alkyl or alkoxy and may be optionally substituted with a substituent selected from the group consisting of halo, hydroxy, carboxyl, amino, aminoalkyl, alkyl, alkoxy, and keto.

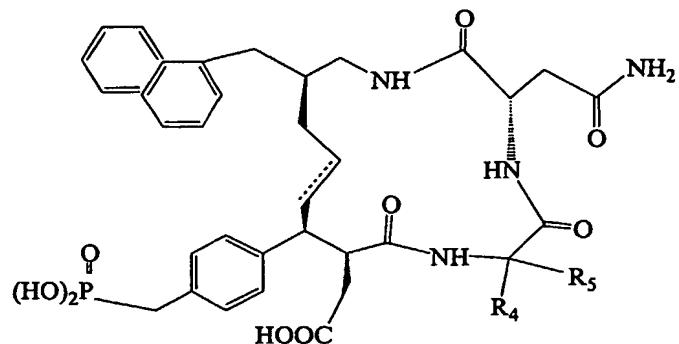
9. The compound of any one of claims 1-7, wherein R<sub>2</sub> is carboxyalkoxy, dicarboxyalkyl, dicarboxyalkoxy, dicarboxyhaloalkyl, dicarboxyhaloalkoxy, phosphono, phosphonoalkyl, phosphonohaloalkyl, phosphoryl, phosphorylalkyl, or phosphorylalkoxy, wherein the alkyl or alkoxy portion of R<sub>2</sub> is a C<sub>1</sub>-C<sub>6</sub> alkyl or alkoxy and may be optionally substituted with a substituent selected from the group consisting of halo, hydroxy, carboxyl, amino, aminoalkyl, alkyl, alkoxy, and keto.
10. The compound of any one of claims 1-9, wherein R<sub>2</sub> is phosphono, phosphonoalkyl, phosphonohaloalkyl, phosphonodihaloalkyl, or phosphoryl.
11. The compound of any one of claims 1-9, wherein R<sub>2</sub> is phosphono, phosphonomethyl, phosphonohalomethyl, or phosphonodihalomethyl.
12. The compound of any one of claims 1-11, wherein R<sub>3</sub> is carboxy C<sub>1</sub>-C<sub>6</sub> alkyl or dicarboxy C<sub>1</sub>-C<sub>6</sub> alkyl.
13. The compound of any one of claims 1-12, wherein R<sub>3</sub> is carboxymethyl or dicarboxymethyl.
14. The compound of any one of claims 1-11, wherein R<sub>3</sub> is alkoxy carbonyl C<sub>1</sub>-C<sub>6</sub> alkyl, aminocarbonyl C<sub>1</sub>-C<sub>6</sub> alkyl, amino, oxazylamino, or C<sub>1</sub>-C<sub>6</sub> alkyl carbonylamino; wherein the alkyl portion of R<sub>3</sub> may be optionally substituted with a substituent selected from the group consisting of halo, hydroxy, carboxyl, amino, aminoalkyl, alkyl, alkoxy, and keto.
15. The compound of any one of claims 1-11 and 14, wherein R<sub>3</sub> is amino or C<sub>1</sub>-C<sub>6</sub> alkyl carbonylamino.
16. The compound of claim 15, wherein R<sub>3</sub> is acetyl amino.
17. The compound of claim 14, wherein R<sub>3</sub> is oxazylamino.
18. The compound of any one of claims 3-17, wherein R<sub>4</sub> and R<sub>5</sub>, independently, are hydrogen, alkyl, or together form cycloalkyl, wherein the alkyl is a C<sub>1</sub>-C<sub>6</sub> alkyl, and the cycloalkyl is a C<sub>3</sub>-C<sub>7</sub> cycloalkyl.
19. The compound of any one of claims 3-17, wherein R<sub>4</sub> and R<sub>5</sub>, independently, are hydrogen, alkyl, or together form cycloalkyl, wherein the alkyl is a C<sub>1</sub>-C<sub>6</sub> alkyl, and the cycloalkyl is a C<sub>6</sub> cycloalkyl.
20. The compound of any one of claims 1-19, wherein R<sub>6</sub> is a C<sub>2</sub>-C<sub>3</sub> alkylenyl or alkenylenyl group, which may be optionally substituted.

21. The compound of any one of claims 1-19, which has the formula:



wherein R<sub>4</sub> and R<sub>5</sub> are independently C<sub>1</sub>-C<sub>6</sub> alkyl or hydrogen.

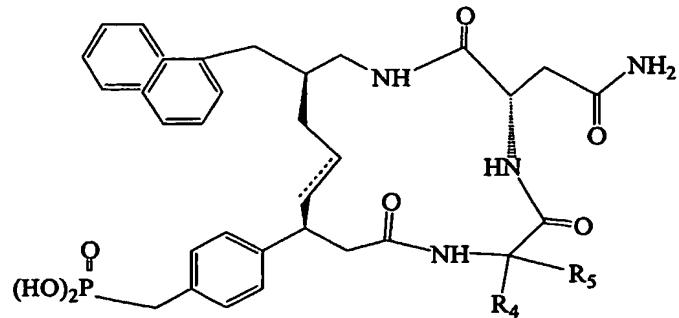
5 22. The compound of any one of claims 1-20 which has the formula:



wherein R<sub>4</sub> and R<sub>5</sub> are independently C<sub>1</sub>-C<sub>6</sub> alkyl or hydrogen.

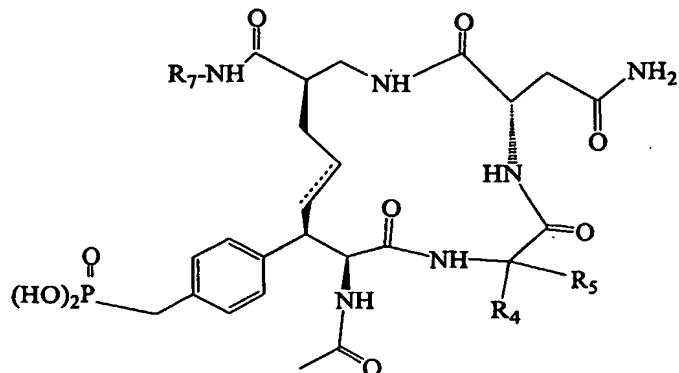
23. The compound of claim 22, wherein R<sub>4</sub> and R<sub>5</sub> are methyl.

24. The compound of any one of claims 1-20 which has the formula:



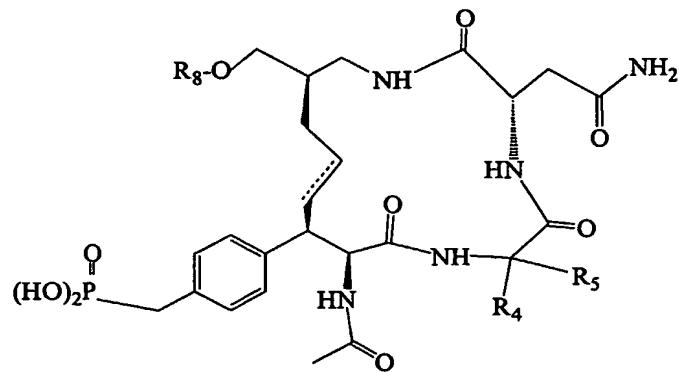
wherein R<sub>4</sub> and R<sub>5</sub> are methyl or R<sub>4</sub> and R<sub>5</sub> together form cyclohexyl.

25. The compound of any one of claims 1-20 which has the formula:



wherein  $R_7$  is aryl or alkenyl, and  $R_4$  and  $R_5$  are independently  $C_1-C_6$  alkyl or hydrogen.

26. The compound of any one of claims 1-20 which has the formula:



5

wherein  $R_8$  is aryl alkyl and  $R_4$  and  $R_5$  are independently  $C_1-C_6$  alkyl or hydrogen.

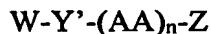
27. The compound of claim 26, wherein  $R_8$  is a benzyl or naphthylmethyl.

28. The compound of claim 1 or 2, wherein said amino acid (AA) is selected from the group consisting of glycine, alanine, valine, norvaline, leucine, iso-leucine, norleucine,  $\alpha$ -amino n-  
10 decanoic acid, serine, homoserine, threonine, methionine, cysteine, S-acetylaminomethyl-  
cysteine, proline, trans-3- and trans-4-hydroxyproline, phenylalanine, tyrosine, 4-  
aminophenylalanine, 4- nitrophenylalanine, 4-chlorophenylalanine, 4-carboxyphenylalanine,  
 $\beta$ -phenylserine  $\beta$ -hydroxyphenylalanine, phenylglycine,  $\alpha$ -naphthylalanine,  
cyclohexylalanine, cyclohexylglycine, tryptophan, indoline-2-carboxylic acid, 1,2,3,4-  
15 tetrahydroisoquinoline-3-carboxylic acid, aspartic acid, asparagine, aminomalonic acid,  
aminomalonic acid monoamide, glutamic acid, glutamine, histidine, arginine, lysine, N'-  
benzyl-N'-methyl-lysine, N',N'-dibenzyl-lysine, 6-hydroxylysine, ornithine,  $\alpha$ -  
aminocyclopentane carboxylic acid,  $\alpha$ -aminocyclohexane carboxylic acid,  $\alpha$ -  
aminocycloheptane carboxylic acid,  $\alpha$ -(2-amino-2-norbornane)-carboxylic acid,  $\alpha,\gamma$ -

diaminobutyric acid and  $\alpha,\beta$ -diaminopropionic acid, homophenylalanine, and  $\alpha$ -tert-butylglycine.

29. The compound of claim 1 or 2, wherein the phenylphosphate mimic group is phosphonomethyl, phosphono-( $\alpha$ -fluoro)methyl, phosphono-( $\alpha,\alpha$ -difluoro)methyl,  
5 phosphono-( $\alpha$ -hydroxy)methyl, O-sulfo, and dicarboxymethoxy.

30. A compound of the formula:



wherein n is 0 to 15; Y' is a phenylalanyl radical having a phenyl ring, an amine end, and a carboxyl end, the phenyl ring having one or more substituents selected from the group

10 consisting of hydroxyl, carboxyl, formyl, carboxyalkyl, carboxyalkyloxy, dicarboxyalkyl, dicarboxyalkyloxy, dicarboxyhaloalkyl, dicarboxyhaloalkyloxy, and phosphonoalkyl, phosphonohaloalkyl, wherein the alkyl portion of the substituents may be unsubstituted or substituted with a substituent selected from the group consisting of halo, hydroxy, carboxyl, amino, aminoalkyl, alkyl, alkoxy, and keto and the amine end includes an azido group; W is  
15 a moiety attached to the nitrogen of Y' and is selected from the group consisting of alkylcarbonyl, oxaryl, alkylaminooxaryl, arylaminooxaryl, arylalkylaminooxaryl, alkoxyoxaryl, carboxyalkyl carbonyl, heterocycl carbonyl, heterocyclalkyl carbonyl, arylalkyl heterocyclalkyl carbonyl, aryloxycarbonyl, and arylalkoxycarbonyl, wherein the aryl and alkyl portions of the substituents may be unsubstituted or substituted with a  
20 substituent selected from the group consisting of halo, hydroxy, carboxyl, amino, aminoalkyl, alkyl, alkoxy, and keto; and the heterocycl portion of W contains at least 4 hetero atoms selected from the group consisting of O, N, and S; AA is an amino acid, the amine end of which is attached to the carboxyl end of Y'; and Z is an arylalkylamino or arylheterocycl alkylamino; or a salt thereof.  
25 31. A pharmaceutical composition comprising a pharmaceutically or pharmacologically acceptable carrier and a compound of any one of claims 1-30.  
32. A method for inhibiting an SH2 domain from binding with a phosphoprotein comprising contacting an SH2 domain with a compound of any one of claims 1-30.  
33. The method of claim 32, wherein said SH2 domain is in a mammal, and said compound  
30 is administered to said mammal.  
34. The compound of any one of claims 1-30 for use in the manufacture of a medicament for the treatment of a condition that responds to the inhibition of phosphoprotein binding to an

SH2 domain of a mammal.

35. The compound of any one of claims 1-30 for use in medicine.

36. A compound of any one of claims 1-30 for use as a Grb2-SH2 domain binding inhibitor.

37. A method for inhibiting SH2 domain binding comprising exposing a material having an

5 SH2 domain to a compound of any one of claims 1-30.

38. A method for determining the presence of an SH2 domain in a material comprising:

(a) exposing a sample of said material to a SH2 binding compound and obtaining a first binding result; (b) exposing another sample of said material to a compound of any one of claims 1-30 and obtaining a second binding result; and (c) comparing the first and second

10 binding results to determine whether an SH2 domain is present in the material.

39. A method of preventing or treating a disease, state, or condition in a mammal comprising administering a compound of any one of claims 1-30.

40. A method of inhibiting cell motility or angiogenesis in a mammal comprising administering to said mammal a macrocyclic peptide having cell signal inhibiting activity, 15 cell motility, or cell angiogenesis inhibiting activity.

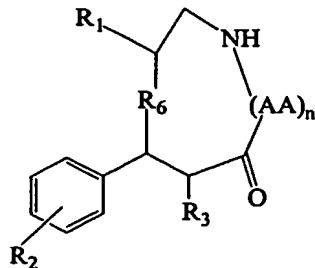
41. The method of claim 40, wherein said macrocyclic peptide is substantially free of cytotoxicity.

42. The method of claim 40 or 41, wherein said macrocyclic peptide is a Grb2-SH2 domain mimetic peptide.

20 43. The method of any one of claims 40-42, wherein said cell motility or angiogenesis is induced by the hepatocyte growth factor (HGF).

44. The method of any of claims 40-43, wherein said cell motility is induced by the binding of c-Met receptor with the Grb2 protein.

45. The method of any one of claims 40-44, wherein the compound is of the formula (I):



25

(I)

wherein R<sub>1</sub> is a lipophile; R<sub>2</sub>, in combination with the phenyl ring, is a phenylphosphate

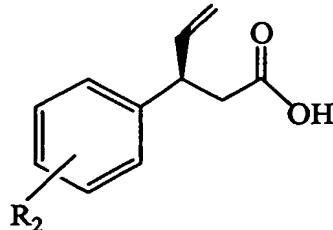
mimic group or a protected phenylphosphate mimic group; R<sub>3</sub> is hydrogen, azido, carboxyalkyl, alkoxy carbonylalkyl, aminocarbonylalkyl, or alkylcarbonylamino, wherein the alkyl portion of R<sub>3</sub> may be optionally substituted with a substituent selected from the group consisting of halo, hydroxy, carboxyl, amino, aminoalkyl, alkyl, alkoxy, and keto; R<sub>6</sub> is a

5 linker; AA is an amino acid; and n is 1 to 6; or a salt thereof.

46. A method for inhibiting the binding of an intracellular signal transducer to a receptor protein tyrosine kinase comprising contacting (a) a sample containing the receptor protein tyrosine kinase, (b) the intracellular signal transducer, and (c) a compound of any one of claims 1-30 under conditions wherein, in the absence of the compound, the receptor protein 10 tyrosine kinase binds to the intracellular transducer; wherein the contacting results in the inhibition of binding of the intracellular signal transducer to the receptor protein tyrosine kinase.

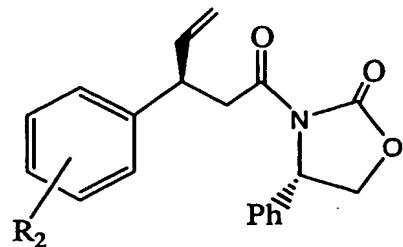
47. A method for detecting the inhibition of binding of an intracellular signal transducer to a receptor protein tyrosine kinase comprising: (a) contacting a sample containing the receptor 15 protein tyrosine kinase with the intracellular signal transducer, separately, in the presence and absence of the compound of any one of claims 1-30 under conditions that allow for binding of the receptor protein tyrosine kinase to the intracellular signal transducer in the absence of the compound; (b) determining that binding has occurred between the receptor protein tyrosine kinase and the intracellular signal transducer; and (c) comparing the relative 20 binding levels of the receptor protein tyrosine kinase to the intracellular signal transducer in the presence and absence of the compound.

48. A compound of the formula



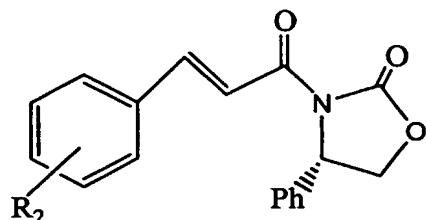
wherein R<sub>2</sub>, in combination with the phenyl ring, is a phenylphosphate mimic group or a 25 protected phenylphosphate mimic group.

49. A compound of the formula



wherein R<sub>2</sub>, in combination with the phenyl ring, is a phenylphosphate mimic group or a protected phenylphosphate mimic group.

**50. A compound of the formula**

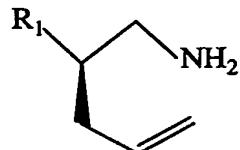


5

wherein R<sub>2</sub>, in combination with the phenyl ring, is a phenylphosphate mimic group or a protected phenylphosphate mimic group.

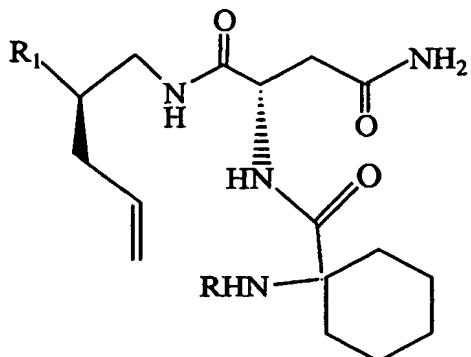
**51. A compound of the formula**

10



wherein R<sub>1</sub> is a lipophile.

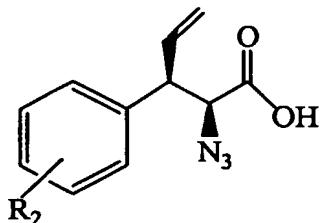
**52. A compound of the formula:**



15

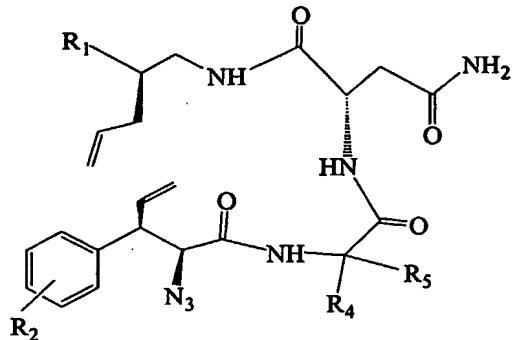
wherein R<sub>1</sub> is a lipophile and R is hydrogen or amine protective group.

53. A compound of the formula:



5 wherein R<sub>2</sub>, in combination with the phenyl ring, is a phenylphosphate mimic group or a protected phenylphosphate mimic group.

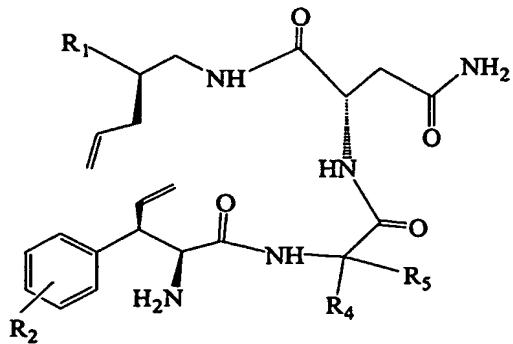
54. A compound of formula 24:



24

10 wherein R<sub>1</sub> is a lipophile, R<sub>2</sub>, in combination with the phenyl ring, is a phenylphosphate mimic group or a protected phenylphosphate mimic group; and R<sub>4</sub> and R<sub>5</sub>, independently, are hydrogen, alkyl, cycloalkyl, heterocyclyl, or together form cycloalkyl or heterocyclyl.

55. A compound of formula 25:



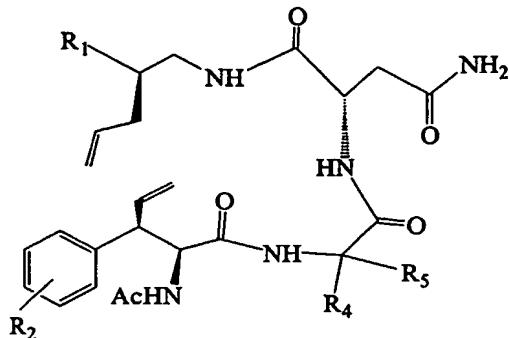
15

25

wherein R<sub>1</sub> is a lipophile, R<sub>2</sub>, in combination with the phenyl ring, is a phenylphosphate

mimic group or a protected phenylphosphate mimic; and R<sub>4</sub> and R<sub>5</sub>, independently, are hydrogen, alkyl, cycloalkyl, heterocyclyl, or together form cycloalkyl or heterocyclyl.

**56. A compound of formula 20**



20

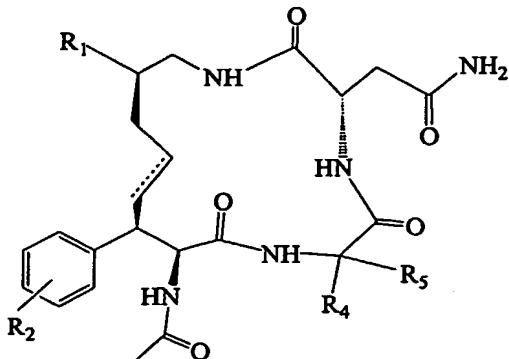
wherein R<sub>1</sub> is a lipophile, R<sub>2</sub>, in combination with the phenyl ring, is a phenylphosphate mimic group or a protected phenylphosphate mimic group; and R<sub>4</sub> and R<sub>5</sub>, independently, are hydrogen, alkyl, cycloalkyl, heterocyclyl, or together form cycloalkyl or heterocyclyl.

**57. The compound of any one of claims 48-50 and 53-56, wherein said phenylphosphate mimic group is phosphono or phosphonomethyl.**

**58. The compound of any one of claims 48-50 and 53-56, wherein said protected phenylphosphate mimic group is phosphonomethyl ester.**

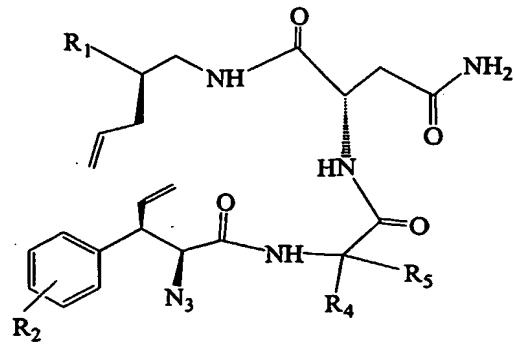
**59. The compound of any one of claims 51-52 and 54-56, wherein said lipophile is aralkyl, arylheterocyclalkyl, alkylaminocarbonyl, alkenylaminocarbonyl, arylaminoacarbonyl, alkoxyalkyl, aryloxyalkyl, or aralkoxyalkyl, wherein the aryl portion is phenyl or naphthyl and the alkyl portion is a C<sub>1</sub>-C<sub>6</sub> alkyl, and the heterocyclyl is a 3-7 membered ring having one or more of N, O, and S.**

**60. A method for preparing a compound of formula 3 comprising:**



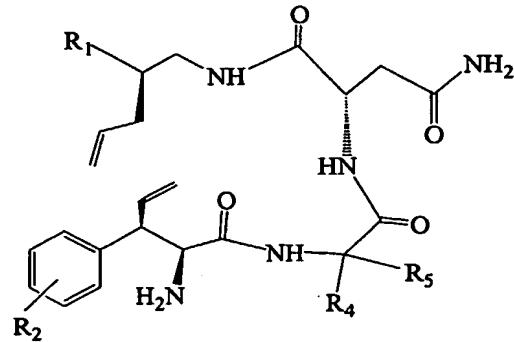
3

(a) providing a compound of formula 24



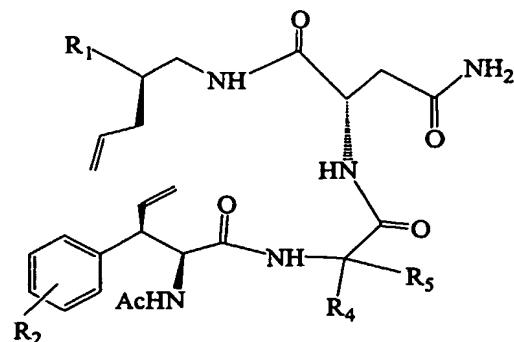
24

(b) reducing the azido group in the compound of formula 24 to an amino group to obtain a  
5 compound of formula 25



25

(c) acetylating the amino group of the compound of formula 25 to obtain a compound of  
formula 20:



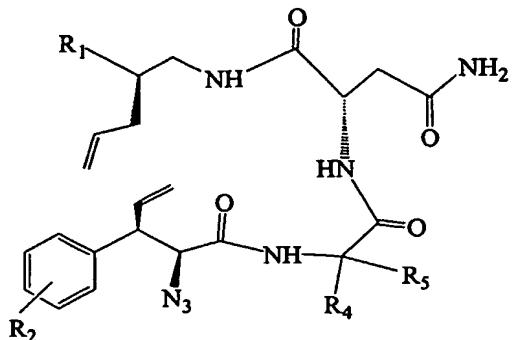
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and (d) carrying out an olefin metathesis reaction on the compound of formula 20, thereby  
obtaining the compound of formula 3; wherein R<sub>1</sub> is a lipophile; R<sub>2</sub>, in combination with the  
phenyl ring, is a phenylphosphate mimic group or a protected phenylphosphate mimic

group; and R<sub>4</sub> and R<sub>5</sub>, independently, are hydrogen, alkyl, cycloalkyl, or heterocyclyl, or R<sub>4</sub> and R<sub>5</sub> together form a cycloalkyl or heterocyclyl.

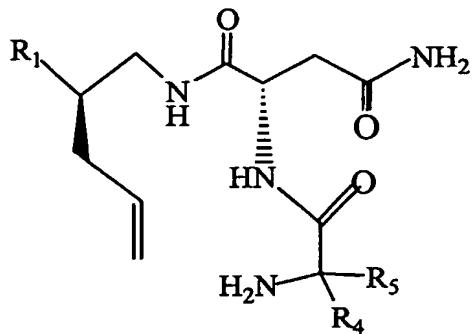
61. A method for preparing a compound of formula 24:



24

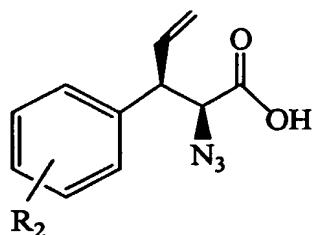
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comprising treating a compound of formula 18 with a compound of formula 23:



18

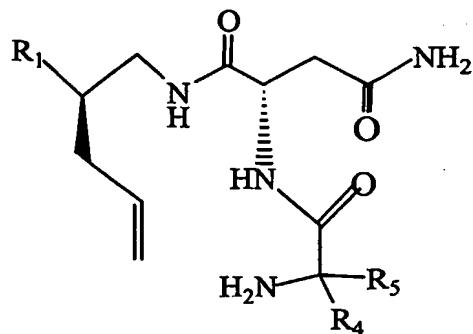
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23

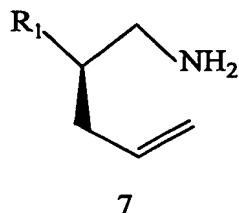
wherein R<sub>1</sub> is a lipophile, R<sub>2</sub>, in combination with the phenyl ring, is a phenylphosphate mimic group or a protected phenylphosphate mimic group; and R<sub>4</sub> and R<sub>5</sub>, independently, are hydrogen, alkyl, cycloalkyl, heterocyclyl, or together form cycloalkyl or heterocyclyl.

15 62. A method for preparing a compound of formula 18 comprising:

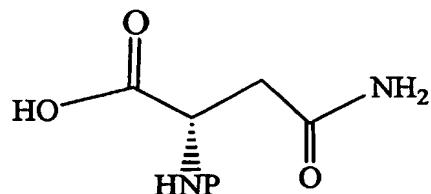


18

(a) treating a compound of formula 7

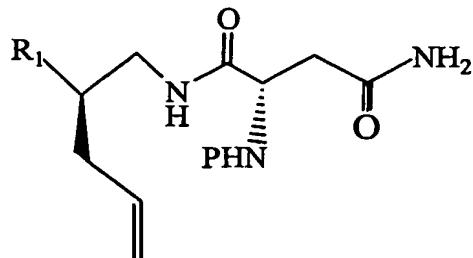


with a compound of the formula:



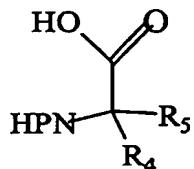
to obtain a compound of the formula 16

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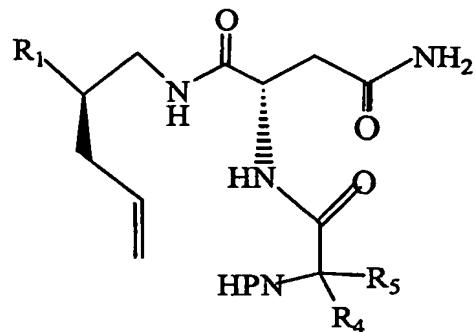


16

(b) treating the compound of formula 16 with an amine protected amino acid of the formula



to obtain a compound of formula 17

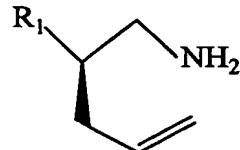


17

5 and (c) removing the amine protecting group to obtain the compound of formula 18;  
wherein R<sub>1</sub> is a lipophile; and R<sub>4</sub> and R<sub>5</sub>, independently, are hydrogen, alkyl, cycloalkyl,  
heterocyclyl, or together form cycloalkyl or heterocyclyl.

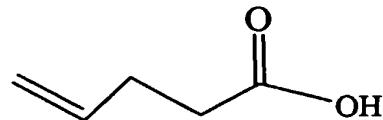
63. A method for preparing a compound of the formula 7 comprising:

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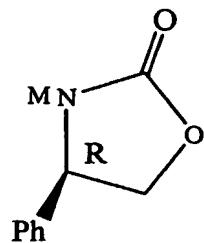


7

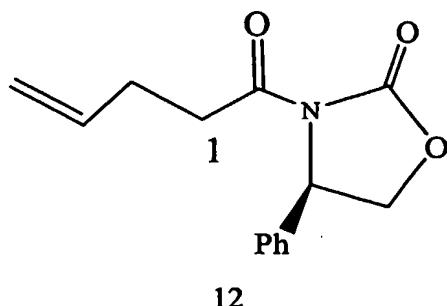
(a) treating a compound of the formula



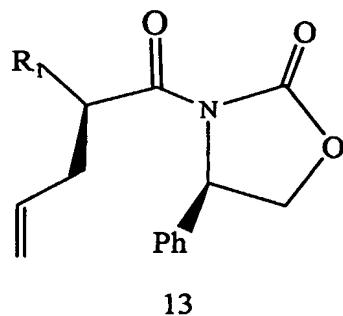
15 with a compound of the formula



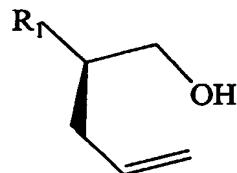
to obtain a compound of formula 12



(b) replacing a hydrogen on the CH<sub>2</sub> adjacent to the carbonyl carbon (1) of the compound of formula 12 with a lipophile to obtain a compound of formula 13:



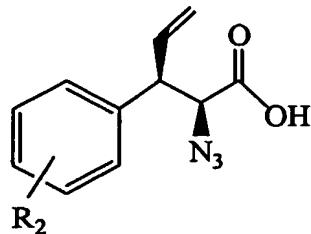
(c) reducing the compound of formula 13 to obtain the compound of formula 14



14

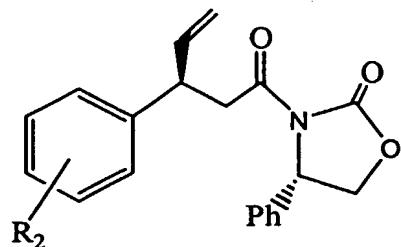
1.0 and (d) replacing the hydroxyl group of the compound of formula 14 with an amino group, thereby obtaining the compound of formula 7; wherein R<sub>1</sub> is a lipophile and M is a metal.

64. A method for preparing a compound of formula 23 comprising:



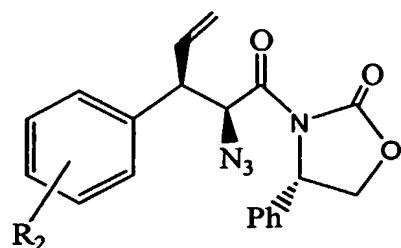
23

15 (a) providing a compound of formula 8



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(b) treating the compound of formula 8 with a base and trisyl azide to obtain a compound of formula 22

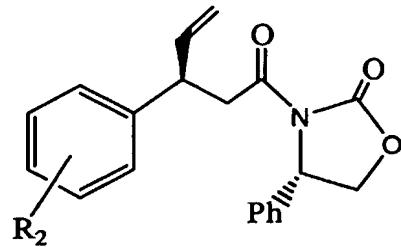


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22

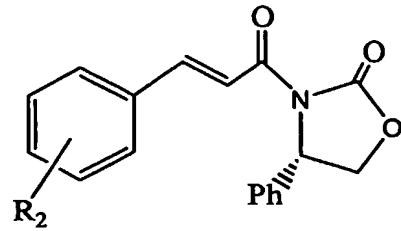
and (c) treating the compound of formula 22 with an alkaline peroxide, thereby obtaining the compound of formula 23; wherein R<sub>2</sub>, in combination with the phenyl ring, is a phenylphosphate mimic group or a protected phenylphosphate mimic group.

10 65. A method for preparing a compound of formula 8 comprising:



8

(a) providing a compound of formula 9



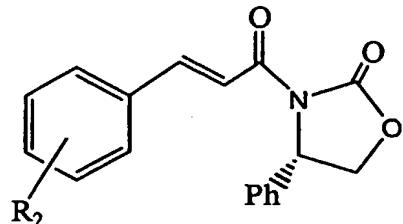
9

and (b) treating the compound of formula 9 with vinyl magnesium bromide and PhSCu;

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wherein R<sub>2</sub>, in combination with the phenyl ring, is a phenylphosphate mimic group or a protected phenylphosphate mimic group.

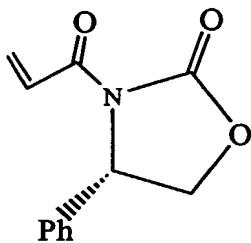
**66. A method for preparing a compound of formula 9 comprising**



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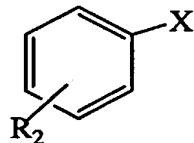
treating a compound of formula 10



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with a compound of formula 11

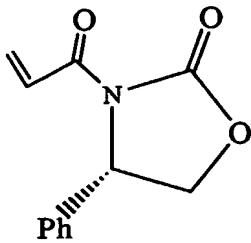
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11

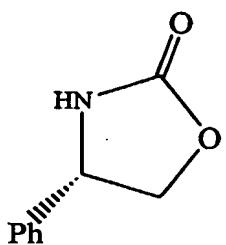
wherein R<sub>2</sub>, in combination with the phenyl ring, is a phenylphosphate mimic group or a protected phenylphosphate mimic group, and X is a halogen.

**15 67. A method for preparing a compound of formula 10 comprising:**

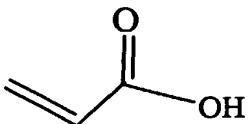


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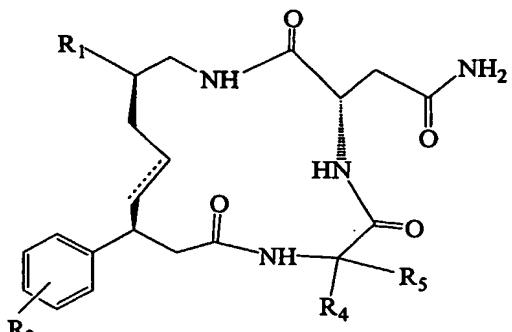
treating a compound of the formula



with a compound of the formula



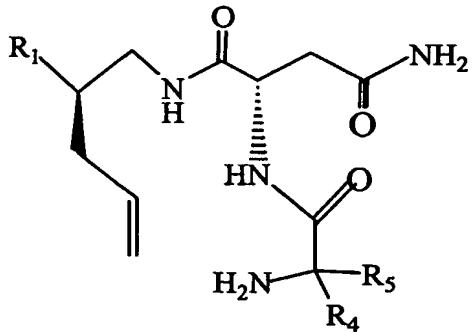
68. A method for preparing a compound of formula 4 comprising:



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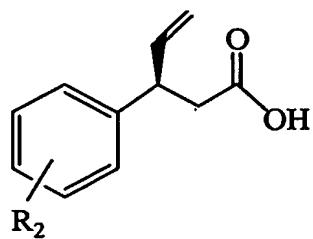
4

(a) treating the compound of formula 18



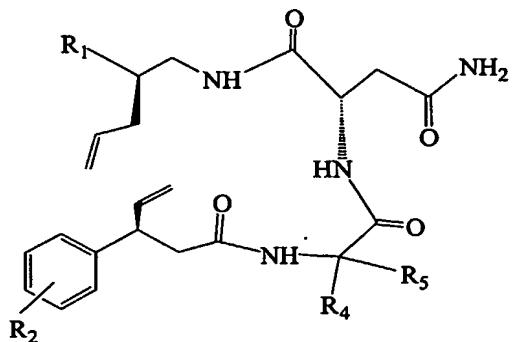
18

10 with a compound of the formula 6



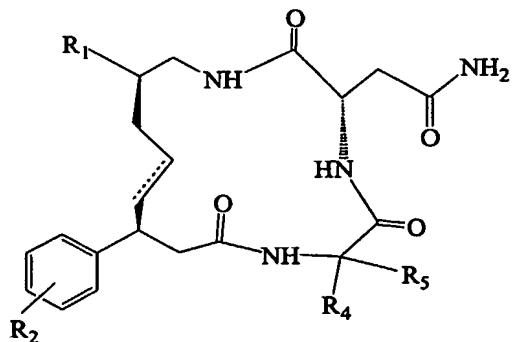
6

to obtain a compound of formula 5



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(b) treating the compound of formula 5 with a Grubbs catalyst to compound of formula 19



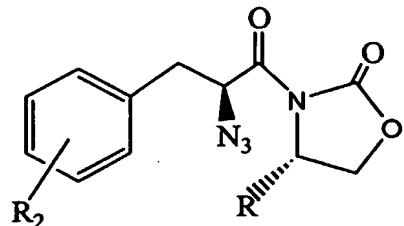
19

and (c) treating the compound of formula 19 with a mixture of trifluoroacetic acid, water, 10 and trimethylsilane to obtain the compound of formula 4; wherein R1 is a lipophile, R2, in combination with the phenyl ring, is a phenylphosphate mimic group or a protected phenylphosphate mimic group; and R4 and R5, independently, are hydrogen, alkyl, cycloalkyl, heterocyclyl, or together form cycloalkyl or heterocyclyl.

69. The method of any one of claims 60-61, 64-66, and 68, wherein said phenylphosphate mimic group is hydroxyl, carboxyl, formyl, carboxyalkyl, carboxyalkoxy, dicarboxyalkyl, dicarboxyalkyloxy, dicarboxyhaloalkyl, dicarboxyhaloalkyloxy, phosphono,

phosphonoalkyl, phosphonohaloalkyl, phosphoryl, phosphorylalkyl, or phosphorylalkoxy, wherein the alkyl portion of the substituents may be unsubstituted or substituted with a substituent selected from the group consisting of halo, hydroxy, carboxyl, amino, aminoalkyl, alkyl, alkoxy, and keto.

- 5 70. The method of any one of claims 60-61, 64-66, and 68, wherein said phenylphosphate mimic group is phosphono or phosphonomethyl.
- 71. The method of any one of claims 60-61, 64-66, and 68, wherein said protected phenylphosphate mimic group is phosphonomethyl ester.
- 72. The method of any one of claims 60-63 and 68, wherein said lipophile is aralkyl,
- 10 arylheterocyclalkyl, alkylaminocarbonyl, alkenylaminocarbonyl, arylaminoacarbonyl, alkoxyalkyl, aryloxyalkyl, or aralkoxyalkyl, wherein the aryl portion is substituted or unsubstituted.
- 73. The method of any one of claims 60-63 and 68, wherein said lipophile is naphthylmethyl.
- 15 74. The method of claim 46 or 47, wherein said method is carried out *in vitro*.
- 75. The method of claim 46 or 47, wherein said method is carried out *in vivo*.
- 76. The method of claim 39, wherein the disease, state, or condition is cancer.
- 77. A compound of the formula 30



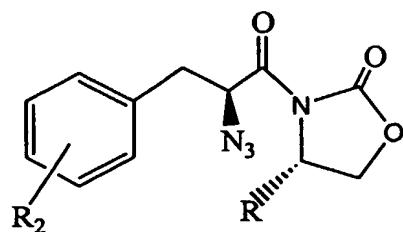
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wherein R<sub>2</sub>, in combination with the phenyl ring, is a phenylphosphate mimic group or a protected phenylphosphate mimic group, and R is aralkyl, aryl, or alkyl.

78. The compound of claim 77, wherein R is benzyl.

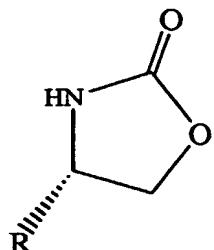
79. A method for preparing a compound of the formula 31



31

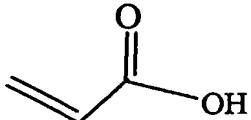
wherein  $R_2$ , in combination with the phenyl ring, is a phenylphosphate mimic group or a protected phenylphosphate mimic group and  $R$  is aralkyl, aryl, or alkyl comprising:

5 (a) treating a compound of the formula 26



26

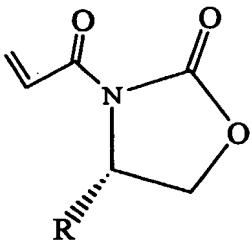
with a compound of the formula 27



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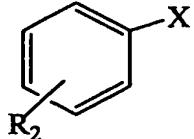
27

to obtain a compound of the formula 28



28

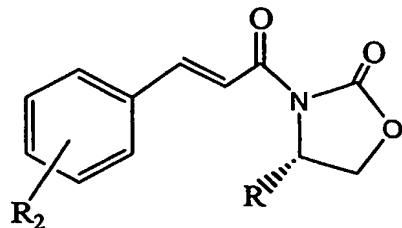
(b) treating the compound of formula 28 with a compound of formula 11



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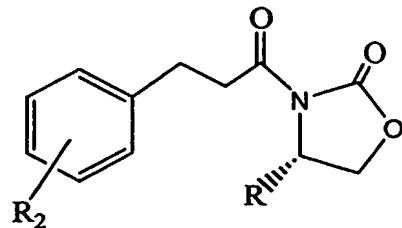
11

to obtain a compound of formula 29



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(c) reducing the compound of formula 29 to obtain a compound of formula 30



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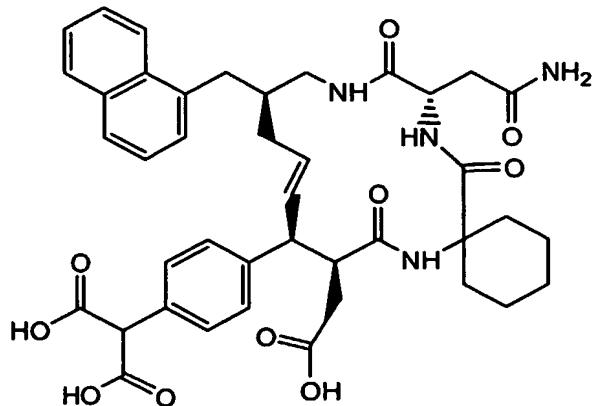
(d) treating the compound of formula 30 with an alkali metal salt of bis(trimethylsilyl)amide and trisyl azide, to obtain the compound of formula 31.

80. The method of claim 79, wherein R is benzyl.

10 81. The compound of claim 22, wherein R<sub>4</sub> and R<sub>5</sub> together form cyclohexyl.

82. The compound of claim 6, wherein R<sub>2</sub> is dicarboxyalkyl, R<sub>3</sub> is carboxyalkyl, R<sub>4</sub> and R<sub>5</sub> together form cycloalkyl, and R<sub>6</sub> is a C<sub>2</sub>-C<sub>4</sub> alkenylenyl group.

83. The compound of claim 82, which has the formula:



15

84. A pharmaceutical composition comprising a pharmaceutically or pharmacologically acceptable carrier and a compound of any of claims 81-83.
85. A method for inhibiting an SH2 domain from binding with a phosphoprotein comprising contacting an SH2 domain with a compound of any of claims 81-83.
- 5 86. A method for preventing or treating a disease, state, or condition in a mammal comprising administering a compound of any of claims 81-83.
87. A method for inhibiting cell motility or angiogenesis in a mammal comprising administering to said mammal a compound of any of claims 81-83.
88. The compound of any of claims 81-83 for use in the manufacture of a medicament for
- 10 10 the treatment of a condition that responds to the inhibition of phosphoprotein binding to an SH2 domain of a mammal.
89. The compound of any of claims 81-83 for use in medicine.